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PASSWORD:

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* * * * * * * * * *
                     Welcome to STN International
                 Web Page for STN Seminar Schedule - N. America
NEWS
NEWS 2 NOV 21
                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
                 and Japanese-language basic patents from 2004-present
         NOV 26
NEWS 3
                 MARPAT enhanced with FSORT command
         NOV 26
NEWS
                 CHEMSAFE now available on STN Easy
         NOV 26
NEWS 5
                 Two new SET commands increase convenience of STN
                 searching
NEWS 6 DEC 01
                 ChemPort single article sales feature unavailable
NEWS 7 DEC 12
                 GBFULL now offers single source for full-text
                 coverage of complete UK patent families
NEWS 8 DEC 17
                 Fifty-one pharmaceutical ingredients added to PS
NEWS 9
         JAN 06
                 The retention policy for unread STNmail messages
                 will change in 2009 for STN-Columbus and STN-Tokyo
                 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
NEWS 10 JAN 07
                 Classification Data
NEWS 11 FEB 02
                 Simultaneous left and right truncation (SLART) added
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
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              STN Operating Hours Plus Help Desk Availability
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              Welcome Banner and News Items
NEWS IPC8
              For general information regarding STN implementation of IPC 8
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=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.22 0.22

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:18:49 ON 13 FEB 2009
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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

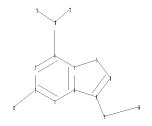
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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10599702.str



```
chain nodes :
10  12  13  15  16
ring nodes :
1  2  3  4  5  6  7  8  9
ring/chain nodes :
11
chain bonds :
2-11  4-10  9-15  10-12  10-13  15-16
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9
exact/norm bonds :
2-11  4-10  5-7  6-9  7-8  8-9  9-15  10-12  10-13  15-16
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
```

G1:H,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:Atom 13:CLASS 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 17:19:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

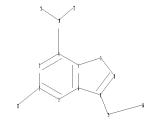
PROJECTED ITERATIONS: 11 TO 389

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>

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chain nodes :
10 12 13 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
11
chain bonds :
2-11 4-10 9-15 10-12 10-13 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
2-11 4-10 5-7 6-9 7-8 8-9 9-15 10-12 10-13 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:H,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:Atom 13:CLASS 15:CLASS 16:CLASS

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS
L3 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 13

SAMPLE SEARCH INITIATED 17:20:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 98 TO ITERATE

100.0% PROCESSED 98 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1367 TO 2553 PROJECTED ANSWERS: 0 TO 0

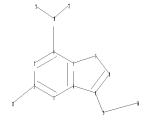
L4 0 SEA SSS SAM L3

=> del 11-

DELETE L1-L4? (Y)/N:y

=>

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```
chain nodes :
10  12  13  15  16
ring nodes :
1  2  3  4  5  6  7  8  9
ring/chain nodes :
11
chain bonds :
2-11  4-10  9-15  10-12  10-13  15-16
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9
exact/norm bonds :
2-11  4-10  5-7  6-9  7-8  8-9  9-15  10-12  10-13  15-16
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
```

G1:H,Ak

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:Atom 13:CLASS 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 17:21:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 98 TO ITERATE

100.0% PROCESSED 98 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1367 TO 2553 PROJECTED ANSWERS: 0 TO 0

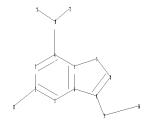
L2 0 SEA SSS SAM L1

=> del 11

L2 REFERENCES L1 DELETE L1? (Y)/N:y

=>

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chain nodes :
10 12 13 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
11
chain bonds :
2-11 4-10 9-15 10-12 10-13 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
2-11 4-10 5-7 6-9 7-8 8-9 9-15 10-12 10-13 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:H,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:Atom 13:CLASS 15:CLASS 16:CLASS

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS
L3 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 13 sam

SAMPLE SEARCH INITIATED 17:22:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 98 TO ITERATE

100.0% PROCESSED 98 ITERATIONS 5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1367 TO 2553

PROJECTED THERATIONS: 1367 TO 2333
PROJECTED ANSWERS: 5 TO 234

L4 5 SEA SSS SAM L3

=> d scan

5 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L4

ΙN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,  $1-(2-\text{ethoxyethyl})-N-\text{methyl}-5-[\text{methyl}(1-\text{methyl}-4-\text{piperidinyl})\,\text{amino}]-7-[(4-\text{methyl}-4-\text{methyl})]$ methyl-2-pyridinyl)amino]-C24 H35 N9 O2

MF

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L4 5 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[[1-(2-ethoxyethyl)-5-(methylamino)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]MF C19 H26 N8 O2

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L4 5 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,

7-(cyclopentylamino)-N-[2-(dimethylamino)ethyll-1-(2-ethoxyethyl

7-(cyclopentylamino)-N-[2-(dimethylamino)ethyl]-1-(2-ethoxyethyl)-5-(ethylamino)-

MF C21 H36 N8 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L4 5 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-[2-(dimethylamino)ethyl]-1-(2-ethoxyethyl)-5-(ethylamino)-7-[(4-methyl-2-pyridinyl)amino]-

MF C22 H33 N9 O2

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} \\ & \text{C--}\text{NH--}\text{CH}_2\text{--}\text{CH}_2\text{--}\text{NMe}_2 \\ & \text{O} \end{array}$$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 13 ful

FULL SEARCH INITIATED 17:23:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2074 TO ITERATE

100.0% PROCESSED 2074 ITERATIONS 178 ANSWERS

SEARCH TIME: 00.00.01

L5 178 SEA SSS FUL L3

=> fil capl

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 188.76 188.98

FILE 'CAPLUS' ENTERED AT 17:23:14 ON 13 FEB 2009
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FILE COVERS 1907 - 13 Feb 2009 VOL 150 ISS 8 FILE LAST UPDATED: 12 Feb 2009 (20090212/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

L6 6 L5

=> d 16 ibib hitstr abs 1-6

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

KIND

ACCESSION NUMBER: 2008:1338353 CAPLUS

DOCUMENT NUMBER: 149:525391

TITLE: Combinations comprising pregabalin

INVENTOR(S): Gunn, Kevin Paul
PATENT ASSIGNEE(S): Pfizer Limited, UK
SOURCE: PCT Int. Appl., 45pp.

CODEN: PIXXD2

DATE

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

	WO	2008132589				A1		2008	1106	WO 2008-IB1027				20080418				
		W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KΡ,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:		,	,	,		CZ,							,			
								LV,										•
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							•	LS,	•	•	•	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
							•	MD,										
	US 20080293746 A1 20081127 US 2																0080	
PRIORITY APPLN. INFO.: US 2007-915174P P 20070													0070.	501				
IT 853003-48-2 1075087-53-4																		
		RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)																
	(BI	_							1									
DM	0.50	(combinations comprising pregabalin) 853003-48-2 CAPLUS																
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	( me	CIIÀT	SULI	опут	, –	(CA	TNDE	X NAI	.т <del>г.</del> )									

APPLICATION NO.

DATE

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1075087-53-4 CAPLUS

CN Hexanoic acid, 3-(aminomethyl)-5-methyl-, (3S)-, compd. with 1-(2-ethoxyethyl)-5-(ethylmethylamino)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)-1H-pyrazolo[4,3-d]pyrimidine-3-carboxamide (1:?) (CA INDEX NAME)

CM 1

CRN 853003-48-2 CMF C20 H28 N8 O4 S

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 148553-50-8 CMF C8 H17 N O2

Absolute stereochemistry. Rotation (+).

AB The invention relates to a combination of pregabalin and 1-(2-ethoxyethyl)-5-[ethyl(methyl)arnino]-N-mesyl-7-[(4-methyl-2-pyridyl)amino]-1H-pyrazolo[4,3-d]pyrimidine-3-carboxamide, to pharmaceutical compns. containing the combination, and to the use of the combination in the treatment of pain. This combination fully reversed static allodynia, indicating an increased effect if compared to pregabalin alone.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN 1.6 ACCESSION NUMBER: 2006:1202023 CAPLUS 145:505469 DOCUMENT NUMBER: Anhydrous crystalline forms of TITLE: N-[1-(2-ethoxyethyl)-5-(N-ethyl-N-methylamino)-7-(4-ethyl-N-methylamino)]methylpyridin-2-ylamino)-1H-pyrazolo[4,3-d]pyrimidine-3-carbonyl]methanesulfonamide as PDE-5 inhibitors Entwistle, David Andrew; Marshall, Peter Wallance; INVENTOR(S): Taylor, Stefan Colin John PATENT ASSIGNEE(S): Pfizer Inc., USA SOURCE: PCT Int. Appl., 72pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: DATE APPLICATION NO. PATENT NO. KIND DATE \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ A2 20061116 A3 20070118 WO 2006120552 WO 2006-IB1233 20060503 WO 2006120552 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM AU 2006245416 A1 20061116 AU 2006-245416 20060503 CA 2608018 20061116 CA 2006-2608018 20080130 EP 2006-744689 Α1 20060503 A2 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU JP 2006-132110
A 20071115 NO 2007-5258
IN 2007DN08174 A 20071123 IN 2007-DN8174
MX 200713215 A 20071212 MX 2007-13215
US 20080194591 A1 20080814 US 2007-913091
KR 2008004593 A 20080109 KR 2007-726098
CN 101175758 A 20080507 CN 2006-80016340
RITY APPLN. INFO.: 20060511 20071012 20071023 20071023 20071030 20071109 CN 2006-80016340 20071112 US 2005-680445P P 20050512 US 2005-681711P P 20050517 PRIORITY APPLN. INFO.: US 2005-681711P WO 2006-IB1233 W 20060503

ΙT 853003-48-2P

> RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and anhydrous crystalline forms of

N-[ethoxyethyl(N-ethyl-N-methylamino)(methylpyridinylamino)pyrazolo[4,3d]pyrimidinecarbonyl]methanesulfonamide as PDE-5 inhibitors)

RN 853003-48-2 CAPLUS CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-5-(ethylmethylamino)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE GI

AB The invention comprises anhydrous crystalline forms of N-[1-(2-ethoxyethy1)-5-(N-ethy1-N-methylamino)-7-(4-methylpyridin-2-ylamino)-1H-pyrazolo[4,3-d]pyrimidine-3-carbonyl]methanesulfonamide (I), pharmaceutical compns. comprising at least one such form, methods for the treatment of a phosphodiesterase-5-mediated condition using at least one such form, and methods for preparing such forms. Example compound I was prepared

by N-alkylation of di-Me 4-nitro-1H-pyrazole-3,5-dicarboxylate with 2-ethoxyethyl bromide; the resulting di-Me 1-(2-ethoxyethyl)-4-nitro-1H-pyrazole-3,5-dicarboxylate, which underwent hydrolysis to give the pyrazole-5-carboxylic acid derivative, which underwent amidation to give the corresponding amide, which underwent reduction to give Me 4-amino-5-carbamoyl-1-(2-ethoxyethyl)-1H-pyrazole-3-carboxylate, which underwent cyclization with N,N'-carbonyldiimidazole to give Me

 $1-(2-{\rm ethoxyethy1})-5, 7-{\rm dioxo-4}, 5, 6, 7-{\rm tetrahydro-1H-pyrazolo} [4,3-d] {\rm pyrimidine-3-carboxylate}, \ {\rm which \ which \ underwent \ chlorination \ to \ give \ Me } 5, 7-{\rm dichloro-1-(2-ethoxyethy1)-1H-pyrazolo} [4,3-d] {\rm pyrimidine-3-carboxylate}, \ {\rm which \ underwent \ substitution \ with \ 2-amino-4-methylpyridine}; \ {\rm the \ resulting \ Me \ 5-chloro-1-(2-ethoxyethy1)-7-(4-methylpyridin-2-ylamino)-1H-pyrazolo} [4,3-d] {\rm pyrimidine-3-carboxylate \ underwent \ hydrolysis \ to \ give \ the corresponding \ carboxylic \ acid, \ which \ underwent \ amidation \ to \ give \ the methanesulfonamide \ derivative, \ which \ underwent \ substitution \ with \ N-methylethylamine \ to \ give \ compound \ I. \ Various \ methods \ to \ obtained \ different \ crystalline \ forms \ of \ I \ is \ also \ discussed. \ Compound \ I \ was \ evaluated$ 

for

its PDE-5 inhibitory activity.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN 1.6 ACCESSION NUMBER: 2006:151143 CAPLUS DOCUMENT NUMBER: 144:219321 Combination of a selective noradrenaline reuptake TITLE: inhibitor and a PDEV inhibitor INVENTOR(S): Hughes, Bernadette PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc. PCT Int. Appl., 72 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_\_ 20060216 WO 2005-IB2457 WO 2006016262 A1 20050729 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM AU 2005270904 20060216 AU 2005-270904 20050729 Α1 CA 2575980 CA 2005-2575980 Α1 20060216 20050729 A1 20070502 EP 2005-769508 EP 1778241 20050729 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR CN 101001630 A 20070718 CN 2005-80027106 20050729 JP 2008509900 Τ 20080403 JP 2007-525380 20050729 BR 2005014296 20080617 BR 2005-14296 20050729 Α A 20070129 NO 2006-6059 A 20070803 IN 2007-DN233 A 20070312 MX 2007-694 A 20070402 KR 2007-703231 A 20080709 KR 2008-715060 NO 2006006059 20061229 IN 2007-DN233 IN 2007DN00233 20070109 MX 200700694 20070118 KR 2007036171 KR 2007-703231 20070209 KR 2008064911 20080620 KR 2008-715060 A 20040810 PRIORITY APPLN. INFO.: GB 2004-17777 P 20040831 US 2004-606302P A 20040810 EP 2004-107777

IT 853003-47-1 853003-48-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination of selective noradrenaline reuptake inhibitor and PDEV inhibitor in treatment of pain)

WO 2005-IB2457 KR 2007-703231 W 20050729

A3 20070209

RN 853003-47-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,

 $1-(2-\text{ethoxyethyl})-5-[\text{methyl}(1-\text{methylethyl})\,\text{amino}]-7-[(4-\text{methyl}-2-\text{pyridinyl})\,\text{amino}]-N-(\text{methylsulfonyl})- (CA INDEX NAME)$ 

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853003-48-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,

 $1-(2-\text{ethoxyethyl})-5-(\text{ethylmethylamino})-7-[(4-\text{methyl}-2-\text{pyridinyl})\,\text{amino}]-N-(\text{methylsulfonyl})- (CA INDEX NAME)$ 

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

AB The present invention relates to a combination of a selective noradrenaline reuptake inhibitor (NRI) and a phosphodiesterase type 5 (PDEV) inhibitor. Such a combination is particularly useful in the treatment of pain. For example, the combination of i.p. (S,S)-reboxetine succinate and s.c. PDEV inhibitor 3-ethyl-5-[5-(4-ethylpiperazin-1-ylsulfonyl)-2-n-propoxyphenyl]-2-(pyridin-2-yl)methyl-2,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (Compound A) displayed synergy in animal models of pain. Following single administration both (S,S)-reboxetine and Compound A produced a dose dependent anti-allodynic effect in the preclin. model of chronic pain.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
                         2005:1130641 CAPLUS
                          143:387058
DOCUMENT NUMBER:
                          Preparation of substituted pyrazolo[4,3-d]pyrimidines
TITLE:
                          as inhibitors of phosphodiesterase V
INVENTOR(S):
                          Bell, Andrew Simon; Brown, David Graham; Fox, David
                          Nathan Abraham; Lu, Hwang-Fun; Marsh, Ian Roger;
                          Morrell, Andrew Ian; Owen, Dafydd Rhys; Palmer,
                          Michael John; Rogers, Thomas Edward; Windslow, Carol
PATENT ASSIGNEE(S):
                          Pfizer Limited, UK; Pfizer Inc.
SOURCE:
                          PCT Int. Appl., 182 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
                          English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                         KIND DATE
                                             APPLICATION NO.
                         A1 20051020 WO 2005-IB891
                                              -----
                                                                      20050330
     WO 2005097799
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
         EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     CA 2562251
                                 20051020
                                            CA 2005-2562251
                                                                       20050330
                          Α1
                                             EP 2005-718362
     EP 1742950
                           Α1
                                 20070117
                                                                       20050330
                                 20081217
     EP 1742950
                          В1
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
     BR 2005009731 A
                               20070925 BR 2005-9731
                                                                      20050330
     JP 2007532526
                                 20071115 JP 2007-506858
                                                                      20050330
     AT 417849 T 20090115 AT 2005-718362
MX 2006010554 A 20061208 MX 2006-10554
US 20080293697 A1 20081127 US 2006-599702
                                                                      20060914
                                                                A 20040407
A 20040407
A 20040407
                                              GB 2004-7927
GB 2004-7946
PRIORITY APPLN. INFO.:
                                              US 2004-572024P P 20040518
                                                                  P 20040518
                                              US 2004-572049P
                                              WO 2005-IB891 W 20050330
OTHER SOURCE(S):
                         CASREACT 143:387058; MARPAT 143:387058
     1044708-69-1 1044708-93-1 1044708-98-6
     1044709-29-6 1044709-30-9 1044709-31-0
     1044709-51-4 1044709-73-0
     RL: PRPH (Prophetic)
        (Preparation of substituted pyrazolo[4,3-d]pyrimidines as inhibitors of
        phosphodiesterase V)
RN
     1044708-69-1 CAPLUS
CN
     1H-Pyrazolo[4,3-d]pyrimidine-3-methanamine,
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N-cyclopentyl-1-(2-ethoxyethyl)-5-(1-piperazinyl)-7-(4-pyrimidinylamino)-

# (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1044708-93-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-methanamine, N-cyclohexyl-1-(2-ethoxyethyl)-5-(1-piperazinyl)-7-(4-pyrimidinylamino)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1044708-98-6 CAPLUS

CN Cyclohexanol, 4-[[[1-(2-ethoxyethyl)-5-(1-piperazinyl)-7-(4-pyrimidinylamino)-1H-pyrazolo[4,3-d]pyrimidin-3-yl]amino]methyl]-, trans-(CA INDEX NAME)

Relative stereochemistry.

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1044709-29-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-methanamine, N-(1,1-dimethylethyl)-1-(2-ethoxyethyl)-5-(1-piperazinyl)-7-(4-pyrimidinylamino)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1044709-30-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-methanamine, N-ethyl-N-methyl-5-(1-piperazinyl)-7-(4-pyrimidinylamino)-1-[2-(2,2,2-trifluoroethoxy)ethyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1044709-31-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-methanamine, 1-(2-ethoxyethy1)-5-(1-piperaziny1)-7-(4-pyrimidinylamino)-N-(2,2,2-trifluoroethy1)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1044709-51-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-methanamine, N-cyclopropyl-1-(2-ethoxyethyl)-5-(1-piperazinyl)-7-(4-pyrimidinylamino)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 1044709-73-0 CAPLUS CN Cyclohexanol, 4-[[[1-(2-ethoxyethyl)-5-(1-piperazinyl)-7-(4pyrimidinylamino)-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 866922-33-0P 866922-37-4P 866922-39-6P 866922-41-0P 866922-43-2P 866922-45-4P 866922-48-7P 866922-49-8P 866922-51-2P 866922-52-3P 866922-53-4P 866922-56-7P 866922-59-0P 866922-62-5P 866922-66-9P 866922-70-5P 866922-73-8P 866922-91-0P 866922-93-2P 866922-95-4P 866922-97-6P 866922-98-7P 866923-00-4P 866923-01-5P 866923-02-6P 866923-03-7P 866923-04-8P 866923-05-9P 866923-06-0P 866923-07-1P 866923-08-2P 866923-25-3P 866923-29-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of substituted pyrazolo[4,3-d]pyrimidines as inhibitors of

phosphodiesterase V) 866922-33-0 CAPLUS

RN

Acetamide, 2-(dimethylamino)-N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-ethoxyethyl)CN methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-37-4 CAPLUS

CN Methanesulfonamide, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-39-6 CAPLUS

CN Methanesulfonamide, N-[[1-(2-ethoxyethyl)-5-(ethylamino)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-41-0 CAPLUS

CN Acetamide, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]-2-hydroxy- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-43-2 CAPLUS

CN Acetamide, N-[[1-(2-ethoxyethyl)-5-(ethylamino)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]-2-hydroxy- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-45-4 CAPLUS

CN Acetamide, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-48-7 CAPLUS

CN Acetamide, N-[[1-(2-ethoxyethyl)-5-(methylamino)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-49-8 CAPLUS

CN Acetamide, N-[[1-(2-ethoxyethyl)-5-(ethylamino)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-51-2 CAPLUS

CN Propanamide, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-52-3 CAPLUS

CN Propanamide, N-[[1-(2-ethoxyethyl)-5-(methylamino)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-53-4 CAPLUS

CN Propanamide, N-[[1-(2-ethoxyethy1)-5-(ethylamino)-7-[(4-methy1-2-pyridiny1)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-y1]methy1]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-56-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethyl)-N5,N5-dimethyl-3-[(methylamino)methyl]-N7-(4-methyl-2-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-59-0 CAPLUS

CN Acetamide, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]-N-methyl- (CA INDEX NAME)

Me 
$$CH_2-CH_2-OEt$$
  $N$   $N$   $N$   $Me$   $Me$   $CH_2-N-Ac$ 

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-62-5 CAPLUS

CN Acetamide, N-[[1-(2-ethoxyethyl)-5-(methylamino)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]-N-methyl- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-66-9 CAPLUS

CN Acetamide, N-[[1-(2-ethoxyethyl)-5-(ethylamino)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]-N-methyl- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-70-5 CAPLUS

CN Acetamide, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-(4-pyrimidinylamino)-1+(2-ethoxyethyl)-7-(4-pyrimidinylamino)-1+(2-ethoxyethyl)-N-methyl- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-73-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethyl)-N5,N5-dimethyl-3-[(methylamino)methyl]-N7-2-pyrazinyl-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-91-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethyl)-N5,N5-dimethyl-3-[[(1-methylethyl)amino]methyl]-N7-(4-methyl-2-pyridinyl)-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-93-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethyl)-N5,N5-dimethyl-N7-(4-methyl-2-pyridinyl)-3-[(propylamino)methyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-95-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethy1)-3-[(ethylamino)methy1]-N5,N5-dimethyl-N7-(5-methyl-2-pyridiny1)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-97-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 3-[(dimethylamino)methyl]-1-(2-ethoxyethyl)-N5,N5-dimethyl-N7-(4-methyl-2-pyridinyl)-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866922-98-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethyl)-3-[[(2-methoxyethyl)amino]methyl]-N5,N5-dimethyl-N7-(4-methyl-2-pyridinyl)-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866923-00-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethy1)-3-[(ethylamino)methyl]-N5,N5-dimethyl-N7-(4-methyl-2-pyridinyl)-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866923-01-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethyl)-3-[(ethylamino)methyl]-N5,N5-dimethyl-N7-4-pyrimidinyl-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866923-02-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethy1)-3-[[(2-methoxyethy1)amino]methy1]-N5,N5-dimethy1-N7-4-pyrimidiny1- (CA INDEX NAME)

$$\begin{array}{c|c} & N & \\ & N & CH_2-CH_2-OEt \\ & N & N & \\ & N & N & \\ & N & CH_2-NH-CH_2-CH_2-OMe \end{array}$$

RN 866923-03-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine,

3-[(diethylamino)methyl]-1-(2-ethoxyethyl)-N5,N5-dimethyl-N7-4-pyrimidinyl-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866923-04-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine,

1-(2-ethoxyethy1)-N5,N5-dimethy1-3-[(methylamino)methy1]-N7-4-pyrimidiny1-

, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866923-05-9 CAPLUS

CN Ethanol, 2-[[1-(2-ethoxyethyl)-3-[(methylamino)methyl]-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methylamino]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866923-06-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-(2-ethoxyethyl)-N5-(2-methoxyethyl)-N5-methyl-3-[(methylamino)methyl]-N7-(4-methyl-2-pyridinyl)-, hydrochloride (1:?) (CA INDEX NAME)

MeO-CH<sub>2</sub>-CH<sub>2</sub>-NHMe 
$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{CH}_2-\text{OEt} \\ \text{CH}_2-\text{NHMe} \\ \text{Me} \\ \end{array}$$

•x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866923-07-1 CAPLUS

CN Ethanol, 2-[[1-(2-ethoxyethyl)-3-[(methylamino)methyl]-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-5-yl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866923-08-2 CAPLUS

CN Acetamide, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]methyl]-N-propyl- (CA INDEX NAME)

10599702

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 866923-25-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 3-[(diethylamino)methyl]-N5,N5-diethyl-N7-4-pyrimidinyl-1-[2-(2,2,2-trifluoroethoxy)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 866923-24-2 CMF C22 H32 F3 N9 O

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 866923-29-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-methanamine, 5-(1-piperaziny1)-7-(4-pyrimidinylamino)-1-[2-(2,2,2-trifluoroethoxy)ethy1]-N-(2,2,2-trifluoroethy1)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 866923-28-6 CMF C20 H24 F6 N10 O

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1 CMF C2 H F3 O2

GI

AB Title compds. I [R1 = cycloalkyl, cycloalkenyl, 5-6 membered heteroarom. ring, etc.; R2 = H, alkyl; R3-4 = alk(en/yn)yl, cycloalkyl, etc.; R5 = amino, alkylenylamino, etc.; R6 = alkyl, haloalkyl, alkenyl, alkynyl, etc.] are prepared For instance II is prepared in 12 steps from di-Me 4-nitro-1H-pyrazole-3,5-dicarboxylate, 2-ethoxyethyl bromide, 2-amino-4-methylpyridine, dimethylaminoacetic acid and dimethylamine. II has an IC50 = 1.12 for phosphodiesterase 5 (PDE5). I are useful for the treatment of diabetes and hypertension.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
1.6
ACCESSION NUMBER: 2005:472160 CAPLUS
DOCUMENT NUMBER:
                              143:26628
                              Preparation of pyrazolopyrimidines as cyclic quanylate
TITLE:
                              monophosphate-specific phosphodiesterase type 5
                              inhibitors
INVENTOR(S):
                              Bell, Andrew; Brown, David; Bull, David; Fox, David;
                              Marsh, Ian; Morrell, Andrew; Palmer, Michael; Winslow,
                              Carol
PATENT ASSIGNEE(S):
                              Pfizer Limited, UK; Pfizer Inc.
SOURCE:
                              PCT Int. Appl., 147 pp.
                              CODEN: PIXXD2
DOCUMENT TYPE:
                              Patent
LANGUAGE:
                              English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                      DATE APPLICATION NO.
      PATENT NO.
                           KIND DATE
      _____
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                                                    ______
      WO 2005049617
                              A1 20050602 WO 2004-IB3791
                                                                                20041119
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
               AE, AG, AL, AM, AI, AU, AZ, BA, BB, BG, BR, BW, BI, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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                                                   CA 2004-2545196
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      EP 1689752
                              A1 20060816
                                                                                 20041119
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AT 387449 T 20080315 AT 2004-798915
ES 2300849 T3 20080616 ES 2004-798915
MX 2006005824 A 20060811 MX 2006-5824
US 20070105877 A1 20070510 US 2006-580306
PRIORITY APPLN. INFO.: GB 2003-27323
                                                                                 20041119
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                                                                                 20060523
                                                     US 2006-580306 20060926
GB 2003-27323 A 20031124
WO 2004-IB3791 W 20041119
                             CASREACT 143:26628; MARPAT 143:26628
OTHER SOURCE(S):
      852873-38-2P 852873-39-3P 852873-40-6P
      852873-42-8P 852873-43-9P 852873-44-0P
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     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrazolopyrimidines as cyclic quanylate
        monophosphate-specific phosphodiesterase type 5 inhibitors)
     852873-38-2 CAPLUS
RN
CN
     1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,
     1-(2-ethoxyethyl)-N-ethyl-5-(ethylamino)-7-(2-pyridinylamino)- (CA INDEX
     NAME)
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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 852873-39-3 CAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,
N-methyl-5-(methylamino)-7-[(4-methyl-2-pyridinyl)amino]-1-(2-propoxyethyl)- (CA INDEX NAME)
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RN 852873-40-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N-methyl-5-(methylamino)-7-[(4-methyl-2-pyridinyl)amino]-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-42-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-(methylamino)-N-[2-(methylamino)ethyl]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

Me 
$$\sim$$
 N  $\sim$  CH2-CH2-OEt  $\sim$  N  $\sim$  N  $\sim$  N  $\sim$  N  $\sim$  C-NH-CH2-CH2-NHMe  $\sim$  O

RN 852873-43-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-[2-(dimethylamino)ethyl]-1-(2-ethoxyethyl)-5-(methylamino)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} \\ & \text{N} & \text{C--}\text{NH--}\text{CH}_2\text{--}\text{CH}_2\text{--}\text{NMe}_2 \\ & \text{O} \end{array}$$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-44-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-(methylamino)-7-[(4-methyl-2-pyridiny1)amino]-N-4-piperidinyl- (CA INDEX NAME)

RN 852873-45-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N-ethyl-5-(ethylamino)-7-[(4-methyl-2-pyridinyl)amino]-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(2-aminoethyl)-1-(2-ethoxyethyl)-5-(ethylamino)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} \\ & \text{C--}\text{NH--}\text{CH}_2\text{--}\text{CH}_2\text{--}\text{NH}_2 \\ & \text{O} \end{array}$$

RN 852873-47-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-5-(ethylamino)-N-[2-(methylamino)ethyl]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} \\ & \text{N} & \text{N} \\ & \text{C--}\text{NH--}\text{CH}_2\text{--}\text{CH}_2\text{--}\text{NHMe} \\ & \text{O} \end{array}$$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-48-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-[2-(dimethylamino)ethyl]-1-(2-ethoxyethyl)-5-(ethylamino)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} \\ & \text{C--}\text{NH--}\text{CH}_2\text{--}\text{CH}_2\text{--}\text{NMe}_2 \\ & \text{O} \end{array}$$

RN 852873-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-(ethylamino)-7-[(4-methyl-2-pyridiny1)amino]-N-4-piperidinyl- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-50-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(2-aminoethyl)-1-(2-ethoxyethyl)-5-[(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} \\ & \text{N} & \text{N} \\ & \text{C--}\text{NH--}\text{CH}_2\text{--}\text{CH}_2\text{--}\text{NH}_2 \\ & \text{O} \end{array}$$

RN 852873-51-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-(2-ethoxyethyl)-N-methyl-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-52-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(2-aminoethyl)-5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 852873-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-(2-ethoxyethyl)-N-[2-(methylamino)ethyl]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} \\ & \text{N} & \text{C--}\text{NH--}\text{CH}_2\text{--}\text{CH}_2\text{--}\text{NHMe} \\ & \text{O} \end{array}$$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-54-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-N-[2-(dimethylamino)ethyl]-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 852873-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-N-4-piperidinyl- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-56-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-(2-ethoxyethyl)-N-(2-methoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

Me 
$$N$$
  $CH_2-CH_2-OEt$   $N$   $N$   $N$   $C-NH-CH_2-CH_2-OMe$   $O$ 

RN 852873-57-5 CAPLUS

CN Glycine, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]carbonyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-58-6 CAPLUS

CN L-Alanine, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852873-59-7 CAPLUS

CN D-Alanine, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-60-0 CAPLUS

CN  $\beta$ -Alanine, N-[[5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]carbonyl]- (CA INDEX NAME)

RN 852873-61-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(2-aminoethyl)-1-(2-ethoxyethyl)-5-(ethylmethylamino)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-62-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(2-aminoethyl)-1-(2-ethoxyethyl)-5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 852873-63-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N-methyl-5-[methyl(1-methyl-4-piperidinyl)amino]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-64-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N,1-dimethyl-7-[(4-methyl-2-pyridinyl)amino]-5-(1-piperazinyl)- (CA INDEX NAME)

RN 852873-65-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,
N,N,1-trimethyl-5-[(3R)-3-methyl-1-piperazinyl]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-66-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N-methyl-7-[(4-methyl-2-pyridinyl)amino]-5-(1-piperazinyl)- (CA INDEX NAME)

RN 852873-67-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-N-methy1-5-[(3R)-3-methy1-1-piperaziny1]-7-[(4-methy1-2-pyridiny1)amino]- (CA INDEX NAME)

Absolute stereochemistry.

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-68-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N,N-dimethyl-5-[(3R)-3-methyl-1-piperazinyl]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-69-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,

 $1-(2-ethoxyethyl)-N-ethyl-5-[(3R)-3-methyl-1-piperazinyl]-7-[(4-methyl-2-pyridinyl)amino]-\\ (CA INDEX NAME)$ 

Absolute stereochemistry.

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-70-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N,1-dimethyl-5-(methylamino)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 852873-71-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylamino)-1-methyl-7-[(4-methyl-2-pyridinyl)amino]-N-4-piperidinyl-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-72-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-methyl-5-[(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]-N-4piperidinyl- (CA INDEX NAME)

RN 852873-73-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-N,1-dimethyl-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-74-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-N-[2-(dimethylamino)ethyl]-1-methyl-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 852873-75-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-methyl-7-[(4-methyl-2-pyridinyl)amino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-76-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,

 $5-(\texttt{dimethylamino})-1-\texttt{methyl}-7-[(4-\texttt{methyl}-2-\texttt{pyridinyl})\,\texttt{amino}]-\texttt{N}-4-\texttt{piperidinyl}-(\texttt{CA INDEX NAME})$ 

RN 852873-77-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(2-aminoethyl)-1-methyl-5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

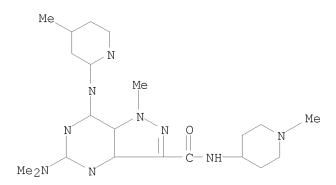
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-78-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-methyl-5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]-N-4-piperidinyl- (CA INDEX NAME)

RN 852873-79-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-methyl-N-(1-methyl-4-piperidinyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-81-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-(2-ethoxyethyl)-N-(2-methoxyethyl)-7-[(5-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 852873-82-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-(2-ethoxyethyl)-N-(2-methoxyethyl)-7-(4-pyrimidinylamino)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-83-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N-ethyl-5-(ethylamino)-7-[(5-methyl-2-pyridinyl)amino]-(CA INDEX NAME)

RN 852873-84-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N-ethyl-5-(ethylamino)-7-(2-pyrazinylamino)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-85-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-5-(ethylamino)-N-(2-methoxyethyl)-7-[(5-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 852873-86-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,
N-(2-aminoethyl)-5-(diethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} \\ & \text{C--}\text{NH--}\text{CH}_2\text{--}\text{CH}_2\text{--}\text{NH}_2 \\ & \text{O} \end{array}$$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-87-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-(ethylamino)-N-methyl-7-[(4-methyl-2-pyridinyl)amino]-(CA INDEX NAME)

RN 852873-88-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-5-(dimethylamino)-1-(2-ethoxyethyl)-N-[2-(methylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 852873-89-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-1-(2-ethoxyethyl)-5-(ethylamino)-N-[2-(methylamino)ethyl]- (CA INDEX NAME)

RN 852873-90-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-1-(2-ethoxyethyl)-5-(ethylmethylamino)-N-[2-(methylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ NH & &$$

RN 852873-91-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-1-(2-ethoxyethyl)-N-ethyl-5-(1-piperazinyl)- (CA INDEX NAME)

RN 852873-92-8 CAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,
1-(2-ethoxyethyl)-N-methyl-N-(1-methylethyl)-5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-93-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N-(2-methoxyethyl)-5-(methylamino)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

Me 
$$\sim$$
 N  $\sim$  CH2 $\sim$  CH2 $\sim$  OEt  $\sim$  N  $\sim$  N  $\sim$  N  $\sim$  N  $\sim$  NH $\sim$  CH2 $\sim$  CH2 $\sim$  OMe  $\sim$  O

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-94-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-N-[2-(dimethylamino)ethyl]-1-(1-methylethyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 852873-96-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-(2-ethoxyethyl)-N-(2-hydroxyethyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-97-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-[2-(dimethylamino)ethyl]-5-(ethylamino)-1-(1-methylethyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 852873-98-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-5-(ethylamino)-N-(2-methoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} \\ & \text{C--}\text{NH--}\text{CH}_2\text{--}\text{CH}_2\text{--}\text{OMe} \\ & \text{O} \end{array}$$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852873-99-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-[(2-hydroxyethy1)methylamino]-N-methyl-7-[(4-methyl-2-pyridiny1)amino]- (CA INDEX NAME)

RN 852874-00-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-5-[(2-methoxyethyl)amino]-N-methyl-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852874-01-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-[(2-methoxyethy1)methylamino]-N-methyl-7-[(4-methyl-2-pyridiny1)amino]- (CA INDEX NAME)

RN 852874-02-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[(methylamino)carbonyl]-1-(2-methylpropyl)-7-[(4-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852874-03-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-5-(dimethylamino)-N-[2-(dimethylamino)ethyl]-1-(2-ethoxyethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ NH & & \\ & & & \\ NH & & \\ & & & \\ NH & & \\ NN & & \\ & & \\ NN & & \\ NN$$

RN 852874-04-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-N-[2-(dimethylamino)ethyl]-1-(2-ethoxyethyl)-5-(ethylamino)- (CA INDEX NAME)

RN 852874-05-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-5-(dimethylamino)-1-(2-ethoxyethyl)-N-methyl- (CA INDEX NAME)

RN 852874-06-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-1-(2-ethoxyethyl)-N-methyl-5-[methyl(1-methyl-4-piperidinyl)amino]- (CA INDEX NAME)

RN 852874-07-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-5-(dimethylamino)-1-(2-ethoxyethyl)-N-(2-methoxyethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ NH & & \\ & & & \\ NH & & \\ NH & & \\ NN & &$$

RN 852874-08-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclohexylamino)-1-(2-ethoxyethyl)-N-methyl-5-[3-(methylamino)-1-azetidinyl]- (CA INDEX NAME)

RN 852874-09-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclohexylamino)-1-(2-ethoxyethyl)-N-methyl-5-[(3R)-3-methyl-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852874-10-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclohexylamino)-1-(2-ethoxyethyl)-N-methyl-5-[methyl[(3S)-1-methyl-3-pyrrolidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852874-11-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N-methyl-5-[methyl[(3S)-1-methyl-3-pyrrolidinyl]amino]-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 852874-12-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-N-methyl-7-[(4-methyl-2-pyridinyl)amino]-5-[[(3S)-1-methyl-3-pyrrolidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852874-13-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-5-(dimethylamino)-1-(2-ethoxyethyl)-N-4-piperidinyl-(CA INDEX NAME)

RN 852874-14-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-1-(2-ethoxyethyl)-5-(ethylamino)-N-4-piperidinyl-(CA INDEX NAME)

RN 852874-15-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(2-aminoethyl)-7-(cyclopentylamino)-5-(dimethylamino)-1-(2-ethoxyethyl)-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ NH & & & \\ & & & \\ NH & & \\ & & \\ N & & \\ C-NH-CH_2-CH_2-NH_2 \\ & & \\ 0 & & \\ \end{array}$$

RN 852874-16-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(2-aminoethyl)-7-(cyclopentylamino)-1-(2-ethoxyethyl)-5-(ethylamino)-(CA INDEX NAME)

RN 852874-17-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,
N-(2-aminoethyl)-7-(cyclopentylamino)-1-(2-ethoxyethyl)-5-[methyl(1-methylethyl)amino]- (CA INDEX NAME)

RN 852874-18-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(2-aminoethyl)-7-(cyclopentylamino)-5-(diethylamino)-1-(2-ethoxyethyl)-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ NH & & & \\ NH & & & \\ NN & & \\ NN & & & \\ NN & & \\ N$$

RN 852874-19-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-1-(2-ethoxyethyl)-N-methyl-5-(1-piperazinyl)- (CA INDEX NAME)

RN 852874-20-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 7-(cyclopentylamino)-1-(2-ethoxyethyl)-N-(2-methoxyethyl)-5-(1-piperazinyl)- (CA INDEX NAME)

RN 852874-21-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-methyl-1-(2-methylpropyl)-7-[(4-methyl-2-pyridinyl)amino]-5-(1-piperazinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  $\operatorname{GI}$ 

AΒ The title compds. I [R1 = (un)substituted cycloalkyl, etc.; R2 = H, alkyl; R3, R4 = (un)substituted alkyl, alkenyl, etc.; R5 = YCONR15R16; Y = alkylenyl, etc.; R15, R16 = H, (un)substituted alkyl, etc.; R6, which may be attached at N1 or N2, is (un)substituted alkyl, alkenyl, etc.] are prepared Thus, 1-(2-ethoxyethyl)-7-(4-methylpyridin-2-ylamino)-5-(pyrrolidin-1-yl)-3-(pyrrolidin-1-carbonyl)-1H-pyrazolo[4,3-d]pyrimidine was prepared from Me 5-chloro-1-(2-ethoxyethyl)-7-(4-methylpyridin-2ylamino)-1H-pyrazolo[4,3-d]pyrimidine-3-carboxylate and pyrrolidine.Compds. of this invention in vitro showed IC50 values of 0.02 nM to 2.95 nM against cyclic guanylate monophosphate-specific phosphodiesterase type

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:472159 CAPLUS

DOCUMENT NUMBER: 143:26627

TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines

with phosphodiesterase-5 (PDE5) inhibiting activity
INVENTOR(S):
Bell, Andrew Simon; Brown, David Graham; Dack, Kevin
Neil; Fox, David Nathan Abraham; Marsh, Ian Roger;

Morrell, Andrew Ian; Palmer, Michael John; Winslow,

Carol Ann

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 282 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.							DATE			
WO	2005	A1		20050602		WO 2004-IB3747							20041112						
	W:	AE, AG,					AU,	AZ,	ВA,	BE	3,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	D2	Z,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	S,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
							LV,											NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	J,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US	S,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SI	Ο,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	A7	Γ,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS	s,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	
		SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI	Ι,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	
		NE,	SN,	TD,	ΤG														
AU					A1 20050602				AU 2004-290643						20041112				
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EP	1689	1689751			A1		20060816			ΕP	2004-798876				0001111				
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	Ĺ,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	
			IS,	YU															
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	BR 2004016869					A 20070327				BR 2004-16869									
JP 2007512314				T 20070517					JP 2006-540647						20041112				
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CN 101362764						2009										0041			
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NL 1027568				A1		2005			NL	20	004-	1027	568		2	0041	123		
NL 1027568				C2		2005													
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IN 2006DN02037				A		2007						DN20.	37			0060			
MX 2006005914				A		2006						5914				0060			
KR 2007026334				A B1		2007			KR	20	006-	7101	52		2	0060	524		
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US 2004-535797P P 20040112 CN 2004-80034570 A3 20041112 WO 2004-IB3747 W 20041112 KR 2006-710152 A3 20060524

OTHER SOURCE(S): MARPAT 143:26627
IT 853003-31-3P 853003-47-1P 853003-48-2P 853003-49-3P 853003-50-6P 853003-51-7P 853003-52-8P 853003-53-9P 853003-54-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of diaminopyrazolopyrimidines with phosphodiesterase-5 inhibiting activity)

RN 853003-31-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxylic acid, 5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-, 2-(dimethylamino)ethyl ester (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853003-47-1 CAPLUS CN 1H-Pyrazolo[4,3-d]p

1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-[methy1(1-methylethy1)amino]-7-[(4-methy1-2-pyridiny1)amino]-N-(methylsulfony1)- (CA INDEX NAME)

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853003-48-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,

 $1-(2-\text{ethoxyethyl})-5-(\text{ethylmethylamino})-7-[(4-\text{methyl}-2-\text{pyridinyl})\,\text{amino}]-N-(\text{methylsulfonyl})- (CA INDEX NAME)$ 

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853003-49-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,

5-(ethylmethylamino)-1-[2-(3-fluoropropoxy)ethyl]-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853003-50-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,

 $1-[2-(3-{\rm fluoropropoxy})\,{\rm ethyl}]-5-[{\rm methyl}\,(1-{\rm methylethyl})\,{\rm amino}]-7-[\,(4-{\rm methyl}-2-{\rm pyridinyl})\,{\rm amino}]-N-({\rm methylsulfonyl})- (CA INDEX NAME)$ 

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 853003-51-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(diethylamino)-1-[2-(3-fluoropropoxy)ethyl]-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853003-52-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(diethylamino)-1-[2-(2,2-difluoroethoxy)ethyl]-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

RN 853003-53-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-[2-(2,2-difluoroethoxy)ethyl]-5-(ethylmethylamino)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853003-54-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-[2-(2,2-difluoroethoxy)ethyl]-5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

853006-64-1P 853007-18-8P 853007-20-2P 853007-22-4P 853007-24-6P 853007-25-7P 853007-26-8P 853007-27-9P 853007-28-0P 853007-29-1P 853007-30-4P 853007-31-5P 853007-32-6P 853007-33-7P 853007-34-8P 853007-35-9P 853007-36-0P 853007-37-1P 853007-38-2P 853007-39-3P 853007-40-6P 853007-41-7P 853007-42-8P 853007-43-9P 853007-44-0P 853007-45-1P 853007-46-2P 853007-47-3P 853007-49-5P 853007-50-8P 853007-51-9P 853007-52-0P 853007-53-1P 853007-54-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of diaminopyrazolopyrimidines with phosphodiesterase-5 inhibiting activity) RN 853006-64-1 CAPLUS CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl) - (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

## 10599702

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-18-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxylic acid, 1-(2-ethoxyethyl)-5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]-, 2-(dimethylamino)ethyl ester (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-20-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-5-(ethylmethylamino)-7-[(3-methylphenyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

RN 853007-22-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-(ethylmethylamino)-7-[(6-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

RN 853007-24-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-(methylpropylamino)-7-[(4-methyl-2-pyridiny1)amino]-N-(methylsulfony1)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-25-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(diethylamino)-1-(2-ethoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OEt} \\ & \text{N} & \text{N} & \text{O} \\ & \text{N} & \text{N} & \text{O} \\ & \text{C--}\text{NH--}\text{S--}\text{Me} \\ & \text{O} & \text{O} \end{array}$$

RN 853007-26-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethy1)-5-[(2-methoxyethy1)methylamino]-7-[(4-methy1-2-pyridiny1)amino]-N-(methylsulfony1)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-27-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-5-(ethylmethylamino)-7-[[4-(hydroxymethyl)-2-pyridinyl]amino]-N-(methylsulfonyl)- (CA INDEX NAME)

RN 853007-28-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(dimethylamino)-1-(2-ethoxyethyl)-N-(ethylsulfonyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-29-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-5-(ethylmethylamino)-N-(ethylsulfonyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

RN 853007-30-4 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(diethylamino)-1-(2-ethoxyethyl)-N-(ethylsulfonyl)-7-[(4-methyl-2-pyridinyl)amino]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-31-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-(2-ethoxyethyl)-5-(ethylmethylamino)-7-[(6-methyl-4-pyrimidinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

RN 853007-32-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(diethylamino)-1-(2-ethoxyethyl)-7-[(6-methyl-4-pyrimidinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-33-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylmethylamino)-7-[(4-fluoro-3-methylphenyl)amino]-N-(methylsulfonyl)-1-[2-(2,2,2-trifluoroethoxy)ethyl]- (CA INDEX NAME)

RN 853007-34-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(diethylamino)-7-[(4-fluoro-3-methylphenyl)amino]-N-(methylsulfonyl)-1-[2-(2,2,2-trifluoroethoxy)ethyl]- (CA INDEX NAME)

RN 853007-35-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylmethylamino)-7-[(3-methylphenyl)amino]-N-(methylsulfonyl)-1-[2-(2,2,2-trifluoroethoxy)ethyl]- (CA INDEX NAME)

RN 853007-36-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylmethylamino)-1-(2-methoxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-37-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-[2-(cyclobutyloxy)ethyl]-5-(ethylmethylamino)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

RN 853007-38-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 1-[2-(cyclobutyloxy)ethyl]-5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-39-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylmethylamino)-N-(methylsulfonyl)-1-(2-propoxyethyl)-7-(2-pyridinylamino)- (CA INDEX NAME)

RN 853007-40-6 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylmethylamino)-N-(ethylsulfonyl)-1-(2-propoxyethyl)-7-(2-pyridinylamino)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-41-7 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-[methyl(1-methylethyl)amino]-N-(methylsulfonyl)-1-(2-propoxyethyl)-7-(2-pyridinylamino)- (CA INDEX NAME)

RN 853007-42-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, N-(ethylsulfonyl)-5-[methyl(1-methylethyl)amino]-1-(2-propoxyethyl)-7-(2-pyridinylamino)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-43-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(diethylamino)-N-(methylsulfonyl)-1-(2-propoxyethyl)-7-(2-pyridinylamino)- (CA INDEX NAME)

RN 853007-44-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide,

5-(diethylamino)-N-(ethylsulfonyl)-1-(2-propoxyethyl)-7-(2-pyridinylamino)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-45-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylmethylamino)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)-1-(2-propoxyethyl)- (CA INDEX NAME)

RN 853007-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylmethylamino)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)-1-[2-(3,3,3-trifluoropropoxy)ethyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-47-3 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)-1-[2-(3,3,3-trifluoropropoxy)ethyl]- (CA INDEX NAME)

RN 853007-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(diethylamino)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)-1-[2-(3,3,3-trifluoropropoxy)ethyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-50-8 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylmethylamino)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)-1-[2-(2,2,2-trifluoroethoxy)ethyl]- (CA INDEX NAME)

RN 853007-51-9 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)-1-[2-(2,2,2-trifluoroethoxy)ethyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-52-0 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(diethylamino)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)-1-(2-propoxyethyl)- (CA INDEX NAME)

RN 853007-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-[methyl(1-methylethyl)amino]-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)-1-(2-propoxyethyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 853007-54-2 CAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-3-carboxamide, 5-(ethylmethylamino)-1-(2-hydroxyethyl)-7-[(4-methyl-2-pyridinyl)amino]-N-(methylsulfonyl)- (CA INDEX NAME)

AB Title compds. [I; R1 = (substituted) cyclic group; R2 = H, alkyl; R3, R4 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R5 = YCO2R15, YR16; R6 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.; Y = bond, CH2OCH2, alkylene, cycloalkylene; R15 = H, (substituted) alkyl; R16 = tetrazolyl, trifluoromethyltriazolyl, methylsulfonyltriazolyl, etc.; dotted lines = double bonds to form an aromatic ring], were prepared Thus, title compound (II) (preparation given) inhibited PDE-5 with IC50 = 0.075 nM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT